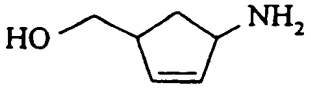
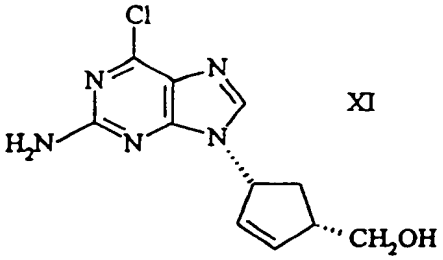
Abstract:

The invention relates to a novel process for the preparation of an aminoalcohol of the formula

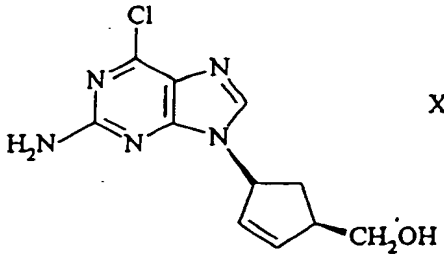


I

racemically or optically active, starting from 2-azabicyclo[2.2.1]hept-5-en-3-one, its further conversion to give the corresponding acyl derivative and its further conversion to (1S,4R)- or (1R,4S)-4-(2-amino-6-chloro-9-H-purine-9-yl)-2-cyclopentenyl-1-methanol of the formulae

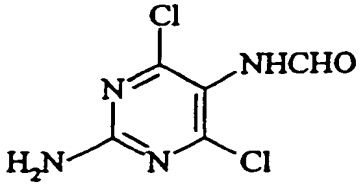


XI



XII

In the latter synthesis, the aminoalcohol is converted into the corresponding D- or L-tartrate, which is then reacted with N-(2-amino-4,6-dichloropyrimidin-5-yl)formamide of the formula



XIII

to give (1S,4R)- or (1R,4S)-4-[(2-amino-6-chloro-5-formamido-4-pyrimidinyl)amino]-2-cyclopentenyl-1-methanol of the formulae

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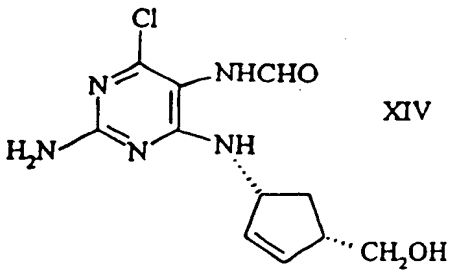
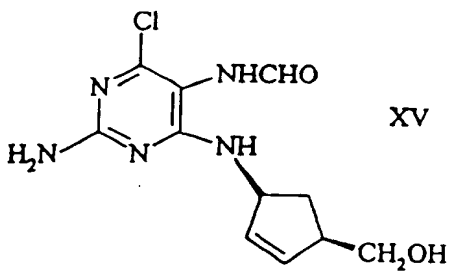


XIV



XV

and then cyclized to give the end compounds.

Basel, 7 October 1998
SREP/Dr G. Schillinger / slu

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